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                 CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 13
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                 Full-text patent databases enhanced with predefined
NEWS 14
                 patent family display formats from INPADOCDB
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                 USPATOLD now available on STN
NEWS 16
                 CAS REGISTRY enhanced with additional experimental
         AUG 28
                 spectral property data
         SEP 07
                 STN AnaVist, Version 2.0, now available with Derwent
NEWS 17
                 World Patents Index
NEWS 18
         SEP 13
                 FORIS renamed to SOFIS
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         SEP 13
                 CA/CAplus enhanced with printed CA page images from
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         SEP 17
                 1967-1998
                 CAplus coverage extended to include traditional medicine
NEWS 21
         SEP 17
NEWS 22
         SEP 24
                 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
                 CA/CAplus enhanced with pre-1907 records from Chemisches
NEWS 23
         OCT 02
                 Zentralblatt
NEWS 24
         OCT 19
                 BEILSTEIN updated with new compounds
                 Derwent Indian patent publication number format enhanced
NEWS 25
         NOV 19
                 WPIX enhanced with XML display format
NEWS 26
NEWS 27
         NOV 30
                 ICSD reloaded with enhancements
         DEC 04
                 LINPADOCDB now available on STN
NEWS 28
NEWS EXPRESS
              19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,
              CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.
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=> file reg
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SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 7 DEC 2007 HIGHEST RN 957187-88-1 DICTIONARY FILE UPDATES: 7 DEC 2007 HIGHEST RN 957187-88-1

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Uploading C:\Program Files\Stnexp\Queries\10541047\Struc 3.str

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chain nodes :
10  11  12  13  15  16  17  18  21
ring nodes :
1  2  3  4  5  6  7  8  9
chain bonds :
6-7  7-10  8-13  10-11  10-12  11-21  13-15  16-17  16-18
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-9  8-9
exact/norm bonds :
7-8  7-9  8-9  8-13  10-11  10-12  11-21  13-15  16-17  16-18
exact bonds :
6-7  7-10
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
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G1:H,X

G2:Hy,[*1]

10541047.trn

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 21:CLASS

L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

T.1 ST

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 17:55:35 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 906 TO ITERATE

100.0% PROCESSED 906 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 16315 TO 19925

PROJECTED ANSWERS: 0 TO

L2 0 SEA SSS SAM L1

=> 11 full

FULL SEARCH INITIATED 17:55:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 18486 TO ITERATE

100.0% PROCESSED 18486 ITERATIONS 9 ANSWERS

SEARCH TIME: 00.00.01

L3 9 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 172.10 172.31

FILE 'CAPLUS' ENTERED AT 17:55:42 ON 09 DEC 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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L4 3 L3

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L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2007:1176083 CAPLUS DOCUMENT NUMBER: 147:469226 TITLE: Indolyl cycloalkylcarboxamide

INVENTOR(S):

147:469226
Indolyl cycloalkylcarboxamide compounds as modulators of ATP-binding cassette transporters and their preparation, phermaceutical compositions and use in the treatment of diseases
Ruah, Sara S. Hadida; Grootenhuis, Peter D. J.; Van Goor, Frederick: Zhou, Jinglan; Bear, Brian; Miller, Mark T.; Mccartney, Jason; Numa, Mehdi Michel Jamel Vertex Pharmaceuticals Incorporated, USA PCT Int. Appl., 276pp.
CODEN: PIXXD2
Patent
English 1

PATENT ASSIGNEE(S): SOURCE:

	PATENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
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	. WO 2007117715			A2 20071018				WO 2007-US8975						20070409			
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		CH,	CN,	CO,	CR,	Cυ,	CZ,	DĒ,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,
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		KN,	KP,	KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,	MK,
		MN,	MW,	ΜX,	MY,	MZ,	NA,	NG,	NI,	NO.	NZ,	OM,	PG,	PH,	PL,	PT,	RO,
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		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,
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		BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM									
US 2007244159 Al 20071018						1	US 2007-786001					20070409					
PRIO	RITY APP	LN.	NFO	. :						US 2	006-	7904	59P		P 2	0060	407

OTHER SOURCE(S):

MARPAT 147:469226

ANSWER I OF 3 CAPLUS COPYRIGHT 2007 ACS on STN NAME) (Continued)

Absolute stereochemistry

952664-38-9 CAPLUS Cyclopropanecarboxamide, N-[2-(1,1-dimethylethyl)-1H-indol-5-yl]-1-(4-methoxyphenyl)-2,2-dimethyl- (CA INDEX NAME)

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

The invention relates to compds. of formula I and pharmaceutically acceptable compns. thereof, which are useful as modulators of ATP-Binding Casastte ("ABC") transporters or fragments thereof, including Cystic Fibrosis Transmembrane Conductance Regulator ("CFTR"). The invention

relates to methods of treating ABC transporter mediated diseases using compds. of the present invention. Compds of formula I wherein R1 and R2 are independently H, (un)substituted (un)branched C1-6 aliphatic chain,

OH, NH2, NO2, CN, OCF3, etc.: Ring K is (un)substituted $3 \sim$ to 7-membered mono(hetero)cyclic ring; B is (un)substituted indolyl: n is 1-3; and

pharmaceutically acceptable salts thereof, are claimed. Example

compound II

Was prepared by chlorination of

1-(3,4-methylenedioxyphenyl)cyclopropanecarb

oxylic acid followed by amidation with 5-aminoindole-2-carboxylic acid Et
ester. All the invention compds. were evaluated for their ATP-binding
cassette transporter modulatory activity. From the assay, it was

mined that the invention compds. exhibited EC50 values from about 3.8 nM to about 13.5 µM and the efficacies was found to be from about 35 % to about 110 %.

952664-12-9P 952664-38-9P
RE: PAC (Pharmacological activity): SPN (Synthetic preparation): THU (Therapoutic use): BIOL (Biological study): PREP (Preparation): USES (Uses)

(drug candidate: preparation of indolyl cycloalkylcarboxamide compds.

ATP-binding cassette transporters useful in treatment of ABC transporter-mediated diseases) 952664-12-9 CAPLUS

952664-12-9 CAPLUS Cyclopropanecarboxamide, N-[1-[(2R)-2,3-dihydroxypropyl]-2-(1,1-dimethylethyl)-1H-indol-5-yl]-1-(4-methoxyphenyl)-2,2-dimethyl- (CA INDEX

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:606457 CAPLUS

DOCUMENT NUMBER: 141:157108

Freparation of aryl substituted cyclopropylcarboxamides for therapeutic use as glucokinase activators

INVENTOR(S): Meichert, Andreas Gerhard; Barrett, David Gene; Heuser, Stefan: Riedl, Rainer; Tebbe, Mark Joseph; Zaliani, Andrea

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

PCT Int. Appl., 141 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. DATE KIND APPLICATION NO. DATE

WO 2003-US17088 20031216
BA, BB, BG, BR, BW, BY, BZ, CA, CH, DM, DZ, EC, EE, EG, ES, FI, GB, GD, IN, IS, JP, KE, KG, KP, KR, KZ, LC, MD, MG, MK, MM, MM, MX, MZ, NI, NO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, US, UZ, VC, VN, YU, ZA, ZM, ZW SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, AT, BE, BG, CH, CY, CZ, DE, DK, EE, IT, LU, MC, NL, PT, RO, SE, SI, SK, GA, GN, GQ, GW, ML, MR, NE, SN, TD, AII 20040729
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LT, LU, LY, MA,
PH, PL, PT, RO,
TT, TZ, UA, UG,
KE, LS, MW, MZ,
MD, RU, TJ, TM,
GB, GR, HU, IE,
CF, CG, C1, CM, W0 2004063179

W: AE, AG, AL,
CN. CO. CR.
GE, GH, GM,
LK. LR. LS.
NZ. OM, PG.
TM. TN. TR.
RW: BW, GH, GM,
BY, KG, KZ,
ES, FI, FR,
TR, BF, BJ, CA 2509086 A1 20040729
AU 2003297291 A1 20040810
EP 1585739 A1 20051019
R: AT. BE, CH, DE, DK, ES, FR,
IE, SI, LT, LV, FI, RO, MK,
JP 2006515858 T 20060608
US 200611353 A1 20060525 CA 2003-2509086 AU 2003-297291 EP 2003-815189 GB, GR, IT, LI, LU, CY, AL, BG, CZ, EE, JP 2004-S66494 US 2006111353 PRIORITY APPLN. INFO.: US 2005-541047 US 2003-438539P 20050629 P 20030106 20031216 wo 2003-US37088

OTHER SOURCE(S):

MARPAT 141:157108

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Cyclopropylcarboxamides, such as I $\{R=substituted\ aryl\ or\ heteroaryl:\ R2,\ R2'=H,\ Me,\ halogen;\ R3=alkyl,\ cycloalkyl,\ cycloalkylmethyl,\ etc.;\ R3'=H,\ halogen,\ alkyl,\ perfluoroalkyl;\ R4=heteroaryl,\ such\ as\ thiazolyl],\ were prepared for use in pharmaceutical compns. as$

thiazolyl), were prepared for use in pharmaceutical compns. as glucokinase
activators which are useful for treatment of type II diabetes. Thus, trans-cyclopropylcarboxamide II was prepared via an amidation reaction of the corresponding cyclopropanecarboxylic acid with (5-chlorothiazol-2-yl)amine hydrochloride using TBTU and ELBN in THF. The prepared cyclopropylcarboxamides were assayed for their ability to increase glucokinase activity. Also, pharmaceutical formulations containing the prepared cyclopropylcarboxamides were presented.

17 731016-72-1P 731016-79-8P 731016-96-5-6P 731016-72-1P 731016-72-1P SPN (Synthetic preparation); TMU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

es) (preparation of substituted aryl substituted cyclopropylcarboxamides

therapeutic use as glucokinase activators)
RN 731016-72-1 CAPLUS
CN Cyclopropanecarboxamide,
2-(cyclohexylmethyl)-1-[4-(methylsulfonyl)phenyl)N-2-thiazolyl-, (1R,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.

731016-79-8 CAPLUS CN Cyclopropanecarboxamide, 2-(2-methylpropyl)-1-[4-(methylsulfonyl)phenyl]-N-2-thiazolyl-, (1R.2R)-rel- (CA INDEX NAME)

Relative stereochemistry.

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

.
31019-01-5 CAPLUS
Cyclopropanecarboxamide, 2-(cyclopentylmethyl)-1-[4(methylsulfonyl)phenyl)-N-2-thiazolyl-, (lR,2R)-rel- (CA INDEX NAME)

Relative stereochemistry.

RN 731019-21-9 CAPLUS
CN Cyclopropanecarboxamide,
2-(1-methylethyl)-1-(4-(methylsulfonyl)phenyl)-N2-chiazolyl-, (1R, 2S)-rel- (CA INDEX NAME)

Relative stereochemistry.

(Continued) L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

731016-85-6 CAPLUS Cyclopropanecarboxamide, mechylbutyl)-1-(4-(mechylsulfonyl)phenyl)-N-2-thiazolyl-, (1R,2R)-rel- (CA INDEX NAME)

731016-90-3 CAPLUS
Cyclopropanecarboxamide, 2-(2,2-dimethylpropyl)-1-(4(methylsulfonyl)phenyl]-N-2-thiazolyl-, (1R,2x)-rel- (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:511283 CAPLUS
DOCUMENT NUMBER: 19:85038

TITLE: Preparation of TNF-a inhibiting hydroxyamic or carboxylic acid functionalized cycloalkanes for the treatment of inflammatory disorders

Zhu, Zhaoning: Mazzola, Robert, Jr.; Guo, Zhuyan; Lawy, Brian J.; Sinning, Lisa; Kozlowski, Joseph; McKittrick, Brian; Shih, Neng-Yang
SOURCE: PIXCOEN PIXCOE

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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WO	2003	0539	15		A2		2003	0703		wo	2002-	US40	453			20021	219
WO	2003	0539	15		А3		2003	0918									
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บร	2004	1024	18		A1		2004	0527		US	2003-	7168	90			20031	119
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ER S	OURCE	(S):			MAR	PAT	139:	85036	3								

OTHER SOURCE(S):

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

$$V = \begin{bmatrix} T & (W)_{n} - X - U - R^{T} \\ V & M \end{bmatrix}$$

This invention relates to compds. of formula I [M = -(C(R30)(R40))m-, wherein m = 1-6; T = substituted alkyl, (un)substituted-cycloalkyl, -heterocycloalkyl, -aryl, etc.; V = (un)substituted alkyl, cycloalkyl, heteroaryl, etc.; R1 = (un)substituted alkyl, alkyne, alkene, cycloalkyl, aryl, etc.; R2 = H, halo, (un)substituted alkyl, cycloalkyl, etc.; U = bond, alkyl, heteroalkyl, heteroatoms; X = (un)substituted alkyl, cycloalkyl, etc.; U = corpoxy, substituted alkylene, cycloalkylene, arylene, etc.; W = carboxy, substituted iminomethylene, S02, S0, etc., wherein n = 0-2; R30 and R40 independently = H or halo,

NO2. (un) substituted alkyl, etc.; or R30 and R40 may be taken together with the atom to which they are attached to form C=0, with provisions] or a pharmaceutically acceptable salt, solvate or isomer thereof, which can be useful for the treatment of diseases or conditions mediated by MMPs, TNF-alpha or combinations thereof. Thus, II was prepared from Me methoxyphenylethanoate with the cyclopropane ring disatereoscalectively formed by cyclization of intermediate III with S-carbo-tertbutcoxymethyletrahydrothiophene bromide with subsequent hydrogenation and resolution of enantiomers. Numerous compds. of the invention possessed

values of less than 20 nM in a TNF-u convertages (TACE) inhibitory activity assay. As TNF-u inhibitors, I will be useful in treatment of inflammatory disorders.

556108-71-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxamic or carboxylic acid functionalized cycloalkanes as

oalkanes as inhibitors of tumor necrosis factor alpha and/or matrix metalloproteinases)
556[08-7]-5 CAPLUS
1,2-Cyclopropanedicarboxamide, N2-hydroxy-1-[3-[(2-methyl-4-quinolinyl)methoxy]phenyl]-N1-2-thiazolyl-, (1R,2R)- (CA INDEX NAME)

L4 $\,$ ANSWER 3 OF 3 $\,$ CAPLUS $\,$ COPYRIGHT 2007 AC5 on STN Absolute stereochemistry.

(Continued)

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=> log ý COST IN U.S. DOLLARS	SINCE FILE	TOTAL
COSI IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	16.75	189.06
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.34	-2.34

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